

10/608,709

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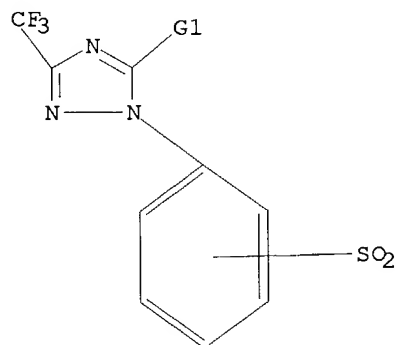
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FILE COVERS 1907 - 1 Jul 2004 VOL 141 ISS 1  
FILE LAST UPDATED: 30 Jun 2004 (20040630/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1 STR



G1 Cb,Hy

Structure attributes must be viewed using STN Express query preparation.

L3 131 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:453194 CAPLUS

DOCUMENT NUMBER: 141:7124

TITLE: Preparation of 1,2,4-triazoles as Cyclooxygenase-2 (COX-2) inhibitors for treating fever, pain and inflammation

INVENTOR(S): Cho, Il-hwan; Ko, Dong-hyun; Chae, Myeong-yun; Kim, Tae-rho; Kang, Kyoung-rae; Kim, Jong-hoon; Jung, Sung-hak; Park, Sang-wook; Chun, Hyung-ok; Ryu, Hyung-chul; Noh, Ji-young; Park, Hyun-jung; Park,

10/608,709

PATENT ASSIGNEE(S): Jie-eun; Chung, Young-mee  
SOURCE: CJ Corporation, S. Korea  
PCT Int. Appl., 44 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046121	A1	20040603	WO 2003-KR1514	20030729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: KR 2002-72688 A 20021121  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein Ar = naphthyl, 3,4-methylenedioxyphenyl, (un)substituted Ph; and their non-toxic salts] were prepd. as Cyclooxygenase-2 (COX-2) inhibitors for treating fever, pain and inflammation. For example, II was prepd. by cyclocondensation of acetamidrazone III with benzoyl chloride in Py, and oxidn. with MMPP in CH<sub>2</sub>Cl<sub>2</sub>. % Inhibition ratios of COX-2 to COX-1 for compds. I were significantly higher than that in Valdecoxib. Thus, I are useful for treating fever, pain, inflammation, neoplasm, and dementia.

IT **696602-82-1P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-phenyl-3-trifluoromethyl-1H-1,2,4-triazole **696602-89-8P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-methoxyphenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696602-96-7P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-fluorophenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-03-9P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-bromophenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-09-5P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-chlorophenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-17-5P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-methylphenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-24-4P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(4-ethoxyphenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-32-4P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(3-chlorophenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-41-5P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(3-fluorophenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-48-2P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(3-fluoro-4-methoxyphenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-54-0P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(3-methylphenyl)-3-trifluoromethyl-1H-1,2,4-triazole **696603-61-9P**, 1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(naphthalen-2-yl)-3-

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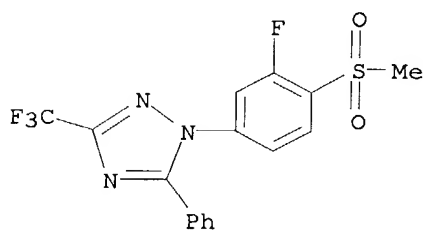
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5-([1,3]Benzodioxol-5-yl)-1-[3-fluoro-4-(methanesulfonyl)phenyl]-3-  
trifluoromethyl-1H-1,2,4-triazole **696603-74-4P**,  
1-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-(3,4-difluorophenyl)-3-  
trifluoromethyl-1H-1,2,4-triazole

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(COX-2 inhibitor; prepn. of triazoles as selective COX-2 inhibitors for  
treating fever, pain and inflammation)

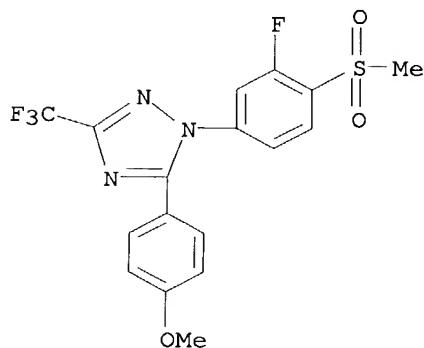
RN 696602-82-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 696602-89-8 CAPLUS

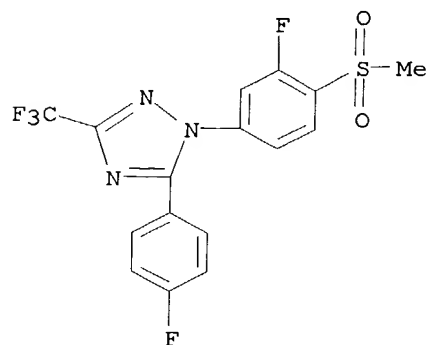
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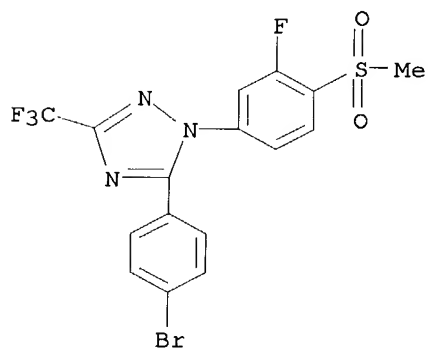
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CN INDEX NAME NOT YET ASSIGNED

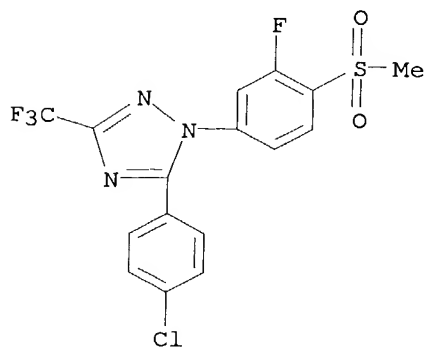
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RN 696603-03-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

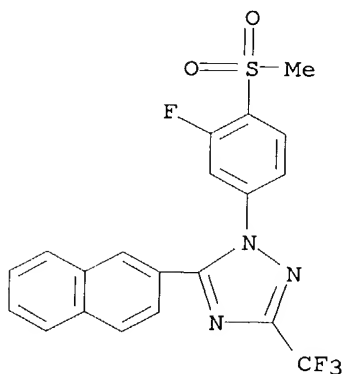


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CN INDEX NAME NOT YET ASSIGNED

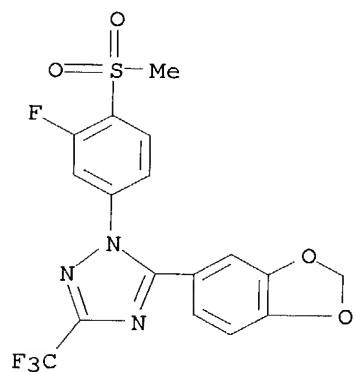


RN 696603-17-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

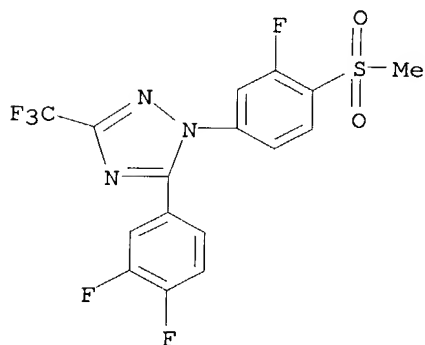
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RN 696603-69-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 696603-74-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:451632 CAPLUS  
TITLE: Preparation of 1,2,4-triazole derivatives as selective  
COX-2 inhibitors

10/608,709

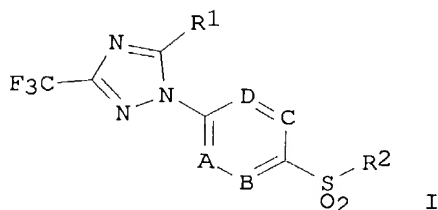
INVENTOR(S): Cho, Il Hwan; Ko, Dong Hyun; Chae, Myeong Yun; Kim, Taerho; Kang, Kyoung Rae; Kim, Jong Hoon; Jung, Sung Hak; Park, Sang Wook; Chun, Hyung Ok; Ryu, Hyung Chul; Noh, Ji Young; Park, Hyun Jung; Park, Jie Eun; Chung, Young Mee  
PATENT ASSIGNEE(S): S. Korea  
SOURCE: U.S. Pat. Appl. Publ., 13 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106612	A1	20040603	US 2003-633083	20030801
WO 2004048367	A1	20040610	WO 2003-KR1530	20030730

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: KR 2002-74118 A 20021126  
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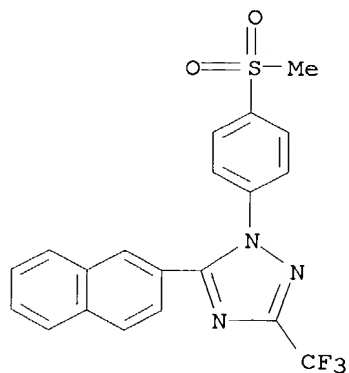
AB The title compds. [I; R1 = (un)substituted naphthyl, indolyl, benzofuranyl, benzothienyl, benzimidazolyl, benzothiazolyl, benzotriazolyl, benzooxazolyl, quinolinyl, isoquinolinyl; R2 = Me, NH2; A, B, C, D = C, N] which showed selective inhibition of COX-2 to COX-1, were prepd. E.g., a 3-step synthesis of I [R1 = 2-naphthyl; R2 = Me; A, B, C, D = CH], starting from 4-methylsulfanyphenylhydrazine.HCl and trifluoroacetimidine, which showed 12.3% COX-2 inhibition at 10 nM vs. 26.2% COX-1 inhibition at 1 .mu.M, was given.

IT 698350-38-8P 698350-39-9P 698350-40-2P  
698350-41-3P 698350-42-4P 698350-43-5P  
698350-44-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 1,2,4-triazole derivs. as selective COX-2 inhibitors)

RN 698350-38-8 CAPLUS

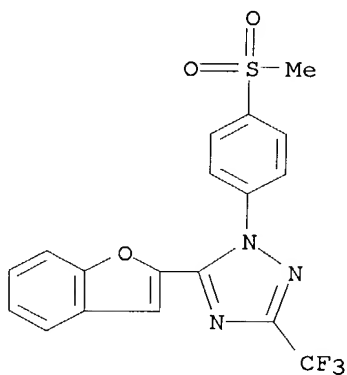
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CN INDEX NAME NOT YET ASSIGNED



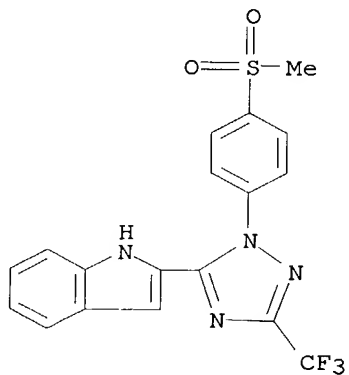
RN 698350-39-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 698350-40-2 CAPLUS

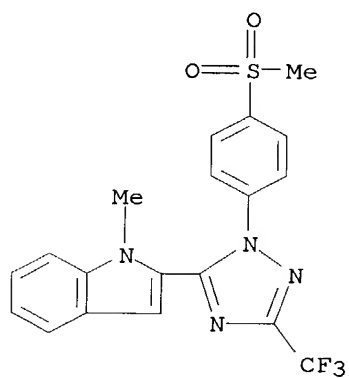
CN INDEX NAME NOT YET ASSIGNED



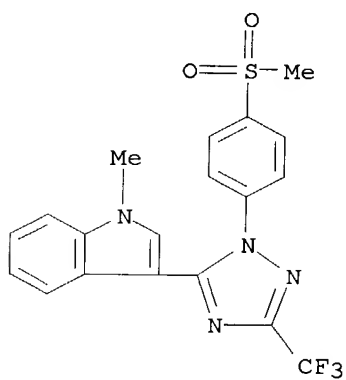
RN 698350-41-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

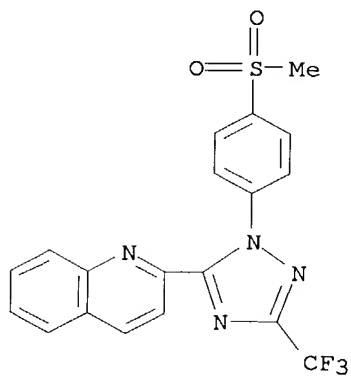
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RN 698350-42-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



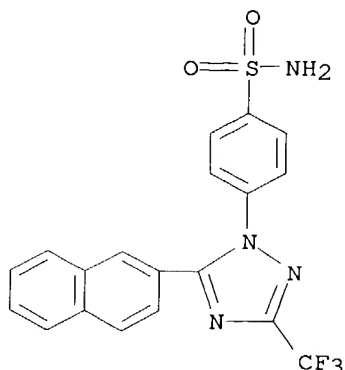
RN 698350-43-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 698350-44-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



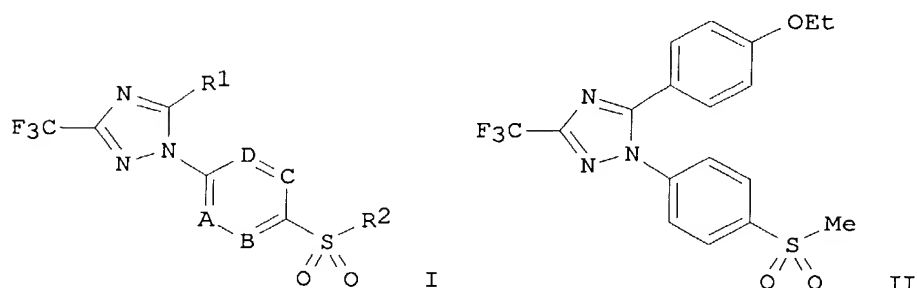
10/608,709



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:143123 CAPLUS  
DOCUMENT NUMBER: 140:181455  
TITLE: Preparation of 1-(hetero)aryl-3-trifluoromethyl-1H-1,2,4-triazoles as cyclooxygenase-2 selective inhibitors  
INVENTOR(S): Cho, Il-hwan; Park, Hyun-jung; Noh, Ji-young; Ryu, Hyung-chul; Park, Sang-wook; Jung, Sung-hak; Lee, Sung-hak; Kim, Jong-hoon; Lim, Jee-woong; Lyu, Chun-seon; Kim, Dal-hyun; Kim, Young-hoon; Yeon, Kyu-jeong; Chae, Myeong-yun; Min, In-ki; Jin, Hae-tak; Kang, Kyoung-rae  
PATENT ASSIGNEE(S): Cj Corporation, S. Korea  
SOURCE: PCT Int. Appl., 105 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014878	A1	20040219	WO 2003-KR1183	20030617
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: KR 2002-46551 A 20020807  
OTHER SOURCE(S): MARPAT 140:181455  
GI

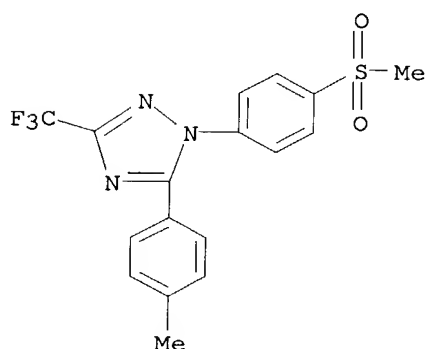


AB Title amidrazone derivs. I [wherein R1 = cycloalkyl, cycloalkenyl, (un)substituted Ph, (alkoxy)styrenyl, or pyridyl; R2 = Me or NH2; A, B, C, and D = independently C or N; or a nontoxic salt thereof] were prepd. as cyclooxygenase-2 (COX-2) selective inhibitors. For example, oxidn. of 5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)-3-trifluoromethyl-1H-[1,2,4]triazole using 80% MMPP in CH2Cl2 gave the methanesulfonylphenyl deriv. II (82%). The latter selectively inhibited COX-2 (38.65%) to COX-1 (11.8%). In addn., II suppressed carrageenan-induced paw edema in rats by 32.3%, compared to 23.9% suppression by the celecoxib ref. Thus, I and their pharmaceutical compns. are useful in the treatment of fever, pain, inflammation, cancer, and dementia (no data).

IT **660400-58-8P**, 1-[4-(Methanesulfonyl)phenyl]-5-(p-tolyl)-3-trifluoromethyl-1H-[1,2,4]triazole  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (COX inhibitor; prepn. of triazoles as COX-2 inhibitors for treatment of fever, pain, inflammation, cancer, and dementia)

RN 660400-58-8 CAPLUS

CN 1H-1,2,4-Triazole, 5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **481052-74-8P**, 4-(5-Phenyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-76-0P**, 4-[5-(Pyridin-3-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-81-7P**, 4-[5-(4-Fluorophenyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-87-3P**, 4-(5-Cyclohexyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **660400-59-9P**, 1-[4-(Methanesulfonyl)phenyl]-5-phenyl-3-trifluoromethyl-1H-[1,2,4]triazole **660400-60-2P**,

5-(4-Chlorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-61-3P**, 5-(4-Bromophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-62-4P**, 1-[4-(Methanesulfonyl)phenyl]-5-(4-methoxyphenyl)-3-trifluoromethyl-1H-[1,2,4]triazole **660400-63-5P**, 5-(3-Bromophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-64-6P**, 5-(3-Chlorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-65-7P**, 5-(3-Trifluoromethylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-66-8P**, 5-(2,4-Dimethoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-69-1P**, 5-(4-Ethoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-70-4P**, 5-(4-tert-Butylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-71-5P**, 5-(4-Cyanophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-72-6P**, 5-(4-Nitro-2-chlorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-73-7P**, 5-(3-Chloro-4-methoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-74-8P**, 5-(Benzodioxol-5-yl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-75-9P**, 4-[2-[4-(Methanesulfonyl)phenyl]-5-trifluoromethyl-2H-[1,2,4]triazol-3-yl]pyridine **660400-76-0P**, 4-[5-(p-Tolyl)-3-trifluoromethyl-1,2,4]triazol-1-yl]benzenesulfonamide **660400-77-1P**, 4-[5-(4-Methoxyphenyl)-3-trifluoromethyl-1,2,4]triazol-1-yl]benzenesulfonamide **660400-78-2P** **660400-85-1P**, 5-(4-Fluorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-86-2P**, 5-(3,5-Dichloro-4-methoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-87-3P**, 5-(3,4-Dichlorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-88-4P**, 5-(3,4-Dimethoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-89-5P**, 5-(3,4-Difluorophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-90-8P**, 5-(3,4-Dimethylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-91-9P**, 5-(3-Chloro-4-methylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-92-0P**, 5-(4-Chloro-3-methylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-93-1P**, 5-(4-Chloro-3-methoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-94-2P**, 5-(3-Fluoro-4-methylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-95-3P**, 5-(4-Fluoro-3-methylphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-96-4P**, 5-(3-Fluoro-4-methoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660400-97-5P**, 1-[4-(Methanesulfonyl)phenyl]-3-trifluoromethyl-5-(4-trifluoromethylphenyl)-1H-[1,2,4]triazole **660400-98-6P**, 1-[4-(Methanesulfonyl)phenyl]-5-(4-trifluoromethoxyphenyl)-3-trifluoromethyl-1H-[1,2,4]triazole **660400-99-7P**, 5-[4-(N-Methylamino)phenyl]-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660401-00-3P**, 5-[4-(N,N-Dimethylamino)phenyl]-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660401-01-4P**, 5-(4-Aminophenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole **660401-02-5P**, 5-(3-Methoxyphenyl)-1-[4-(methanesulfonyl)phenyl]-3-trifluoromethyl-1H-[1,2,4]triazole

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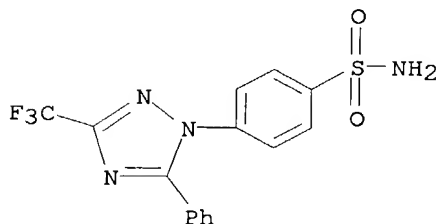
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**660401-65-0P**, 4-[3-Trifluoromethyl-5-[4-(dimethylamino)phenyl]-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-66-1P**,  
 4-[3-Trifluoromethyl-5-(m-tolyl)-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-67-2P**, 4-[3-Trifluoromethyl-5-(3-trifluoromethylphenyl)-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-68-3P**,  
 4-[3-Trifluoromethyl-5-(3-methoxyphenyl)-[1,2,4]triazol-1-  
 yl]benzenesulfonamide **660401-69-4P**, 4-[3-Trifluoromethyl-5-(2-  
 bromophenyl)-[1,2,4]triazol-1-yl]benzenesulfonamide **660401-70-7P**  
 , 4-[3-Trifluoromethyl-5-(2-methoxyphenyl)-[1,2,4]triazol-1-  
 yl]benzenesulfonamide **660401-71-8P**, 4-[3-Trifluoromethyl-5-(2,4-  
 difluorophenyl)-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-72-9P**, 4-[3-Trifluoromethyl-5-(2,5-difluorophenyl)-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-73-0P**,  
 4-[3-Trifluoromethyl-5-(2,4,5-trifluorophenyl)-[1,2,4]triazol-1-  
 yl]benzenesulfonamide **660401-74-1P**, 4-[3-Trifluoromethyl-5-(2,3-  
 dichlorophenyl)-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-75-2P**, 4-[3-Trifluoromethyl-5-(2,4-dichlorophenyl)-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-76-3P**,  
 4-[3-Trifluoromethyl-5-(3,5-dimethoxyphenyl)-[1,2,4]triazol-1-  
 yl]benzenesulfonamide **660401-77-4P**, 4-[3-Trifluoromethyl-5-(2,4-  
 dimethoxyphenyl)-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-78-5P**, 4-[3-Trifluoromethyl-5-(3,4,5-trifluorophenyl)-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-79-6P**,  
 4-[3-Trifluoromethyl-5-(2-fluoro-4-trifluoromethylphenyl)-[1,2,4]triazol-1-  
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 chloro-4-nitrophenyl)-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-81-0P**, 4-[3-Trifluoromethyl-5-(2,4-dichloro-5-fluorophenyl)-  
 [1,2,4]triazol-1-yl]benzenesulfonamide **660401-82-1P**,  
 4-[5-(Benzodioxol-5-yl)-3-trifluoromethyl-[1,2,4]triazol-1-  
 yl]benzenesulfonamide **660401-83-2P**, 4-[5-(Pyridin-4-yl)-3-  
 trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide  
**660401-84-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(COX inhibitor; prepn. of triazoles as COX-2 inhibitors for treatment  
 of fever, pain, inflammation, cancer, and dementia)

RN 481052-74-8 CAPLUS

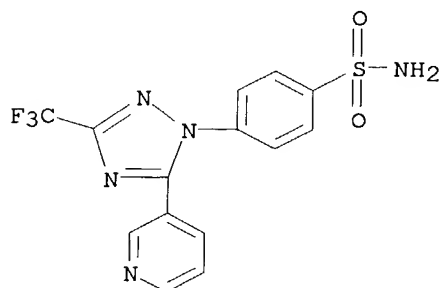
CN Benzenesulfonamide, 4-[5-phenyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]-  
 (9CI) (CA INDEX NAME)



RN 481052-76-0 CAPLUS

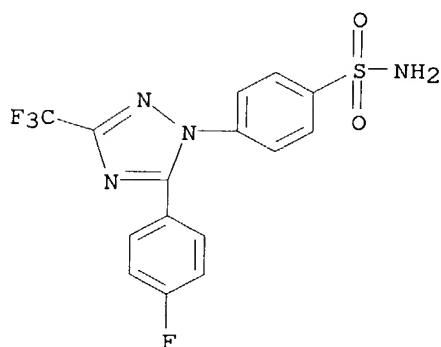
CN Benzenesulfonamide, 4-[5-(3-pyridinyl)-3-(trifluoromethyl)-1H-1,2,4-  
 triazol-1-yl]- (9CI) (CA INDEX NAME)

10/608,709



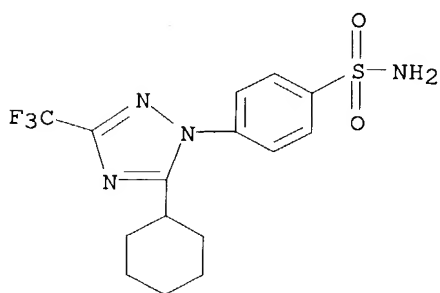
RN 481052-81-7 CAPLUS

CN Benzenesulfonamide, 4-[5-(4-fluorophenyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-87-3 CAPLUS

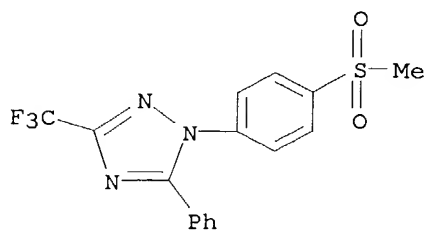
CN Benzenesulfonamide, 4-[5-cyclohexyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 660400-59-9 CAPLUS

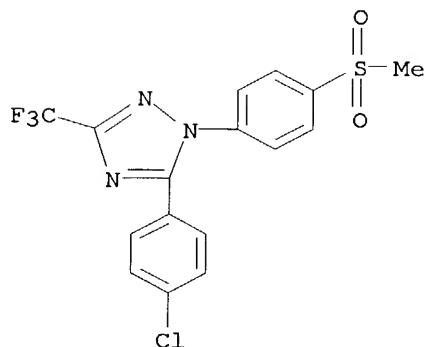
CN 1H-1,2,4-Triazole, 1-[4-(methylsulfonyl)phenyl]-5-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

10/608,709



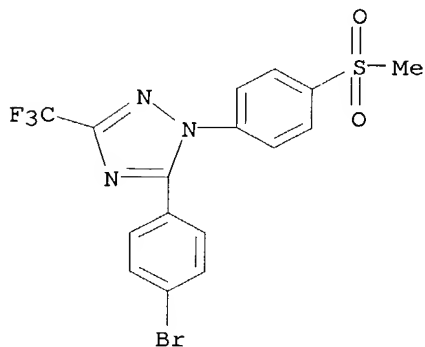
RN 660400-60-2 CAPLUS

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RN 660400-61-3 CAPLUS

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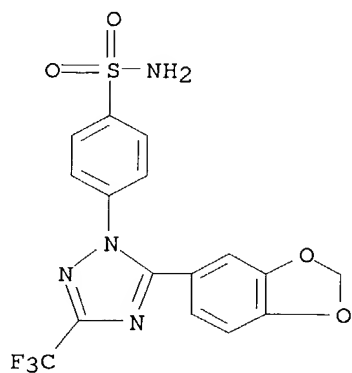


RN 660400-62-4 CAPLUS

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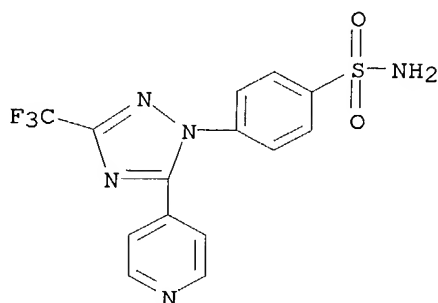
10/608,709

CN Benzenesulfonamide, 4-[5-(1,3-benzodioxol-5-yl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



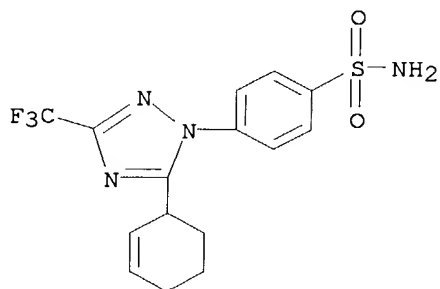
RN 660401-83-2 CAPLUS

CN Benzenesulfonamide, 4-[5-(4-pyridinyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 660401-84-3 CAPLUS

CN Benzenesulfonamide, 4-[5-(2-cyclohexen-1-yl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

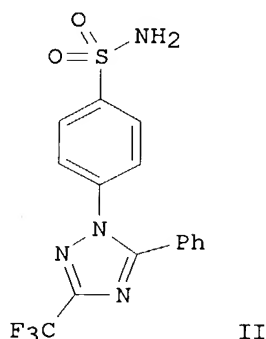
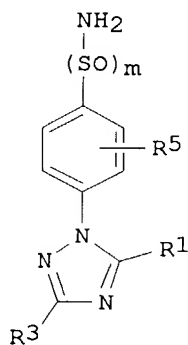
L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN



10/608,709

ACCESSION NUMBER: 2003:20009 CAPLUS  
DOCUMENT NUMBER: 138:73259  
TITLE: Preparation of sulfonyl aryl triazoles as anti-inflammatory/analgesic agents  
INVENTOR(S): Rast, Bryson; Sakya, Subas Man; Shavnya, Andrei  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: Eur. Pat. Appl., 36 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1273576	A1	20030108	EP 2002-254339	20020701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003125368	A1	20030703	US 2002-188713	20020702
JP 2003064061	A2	20030305	JP 2002-196417	20020704
BR 2002002544	A	20030513	BR 2002-2544	20020704
PRIORITY APPLN. INFO.:			US 2001-303186P	P 20010705
OTHER SOURCE(S):		MARPAT 138:73259		
GI				



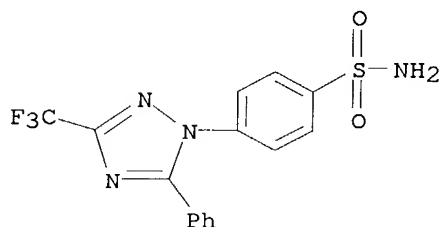
- AB Title compds. I [ $m = 0-2$ ;  $R_1 = \text{alk(en/yn)yl}$ , alkoxy, alkylcarbonyl, formyl, formamidyl, etc.;  $R_3 = \text{H}$ , halo,  $\text{alk(en/yn)yl}$ , alkoxy, etc.;  $R_5 = \text{alkyl}$ ] are prepd. For instance, 4-hydrazinobenzenesulfonamide.bul.HCl was condensed with trifluoroacetamidine to give 4-[N'-(1-amino-2,2,2-trifluoroethylidene)hydrazino]benzenesulfonamide. This intermediate was condensed with benzoyl chloride ( $\text{CH}_2\text{Cl}_2$ , pyridine,  $0^\circ$ ) to give II. Compds. of the invention are evaluated for cyclooxygenase-1 (COX-1) and COX-2 inhibition on canine whole blood; a selected test compd. administered at 5 mg/kg (oral gavage) shows significant selectivity for inhibition of COX-2 over COX-1. Example compds. are said to have  $\text{IC}_{50}$  values of 0.001  $\mu\text{M}$  to 3  $\mu\text{M}$  with respect to COX-2 inhibition. I are useful in the treatment or alleviation of inflammation and other inflammation assocd. disorders, such as osteoarthritis, rheumatoid arthritis, colon cancer and Alzheimer's disease, in mammals (preferably humans, dogs, cats and livestock).
- IT **481052-74-8P**, 4-(5-Phenyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-75-9P**, 4-(5-(Pyridin-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-76-0P**, 4-(5-(Pyridin-3-yl)-3-trifluoromethyl-[1,2,4]triazol-

1-yl)benzenesulfonamide **481052-77-1P**, 4-(5-(Furan-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-78-2P**, 4-[5-(Tetrahydrofuran-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-79-3P**, 4-[5-(Tetrahydropyran-4-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-80-6P**, 4-[5-(2,2-Dimethyltetrahydropyran-4-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-81-7P**, 4-[5-(4-Fluorophenyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-82-8P**, 4-[5-(3-Fluorophenyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-86-2P**, 4-(5-Cyclobutyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-87-3P**, 4-(5-Cyclohexyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-88-4P**, 4-[5-(4-tert-Butylcyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-89-5P**, 4-[5-(3-Methylcyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-90-8P**, 4-[5-(3-Methoxycyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-91-9P**, 4-(5-Cyclopentyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sulfonyl aryl triazoles as anti-inflammatory/analgesic agents)

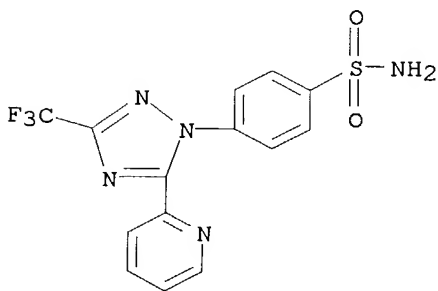
RN 481052-74-8 CAPLUS

CN Benzenesulfonamide, 4-[5-phenyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-75-9 CAPLUS

CN Benzenesulfonamide, 4-[5-(2-pyridinyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

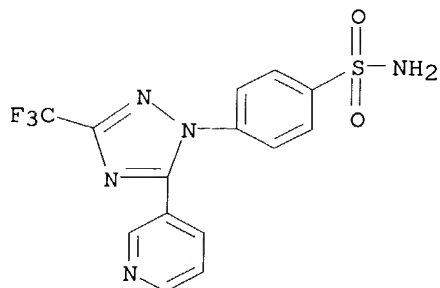


RN 481052-76-0 CAPLUS

CN Benzenesulfonamide, 4-[5-(3-pyridinyl)-3-(trifluoromethyl)-1H-1,2,4-

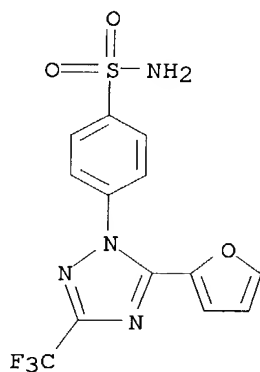
10/608,709

triazol-1-yl]- (9CI) (CA INDEX NAME)



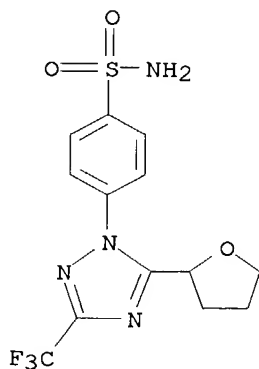
RN 481052-77-1 CAPLUS

CN Benzenesulfonamide, 4-[5-(2-furanyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-78-2 CAPLUS

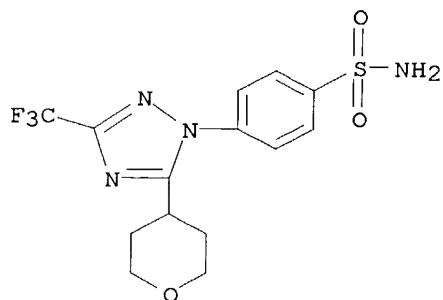
CN Benzenesulfonamide, 4-[5-(tetrahydro-2-furanyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-79-3 CAPLUS

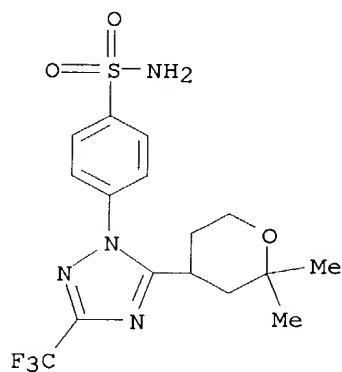
CN Benzenesulfonamide, 4-[5-(tetrahydro-2H-pyran-4-yl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

10/608,709



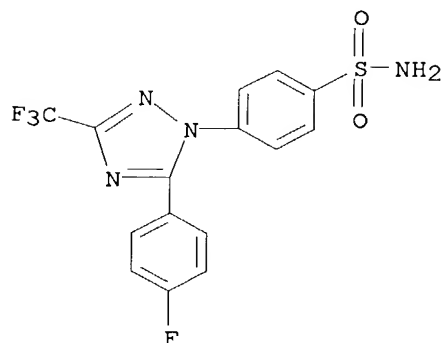
RN 481052-80-6 CAPLUS

CN Benzenesulfonamide, 4-[5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-81-7 CAPLUS

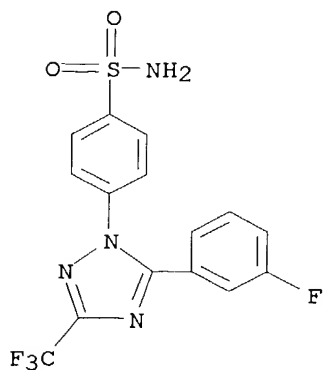
CN Benzenesulfonamide, 4-[5-(4-fluorophenyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



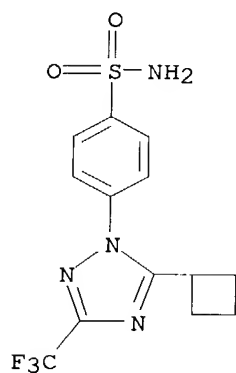
RN 481052-82-8 CAPLUS

CN Benzenesulfonamide, 4-[5-(3-fluorophenyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

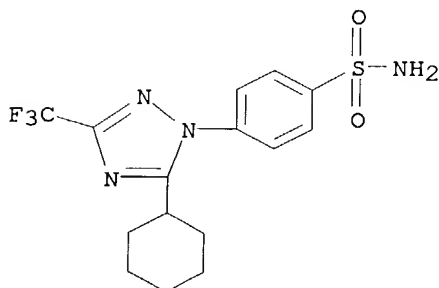
10/608,709



RN 481052-86-2 CAPLUS  
CN Benzenesulfonamide, 4-[5-cyclobutyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

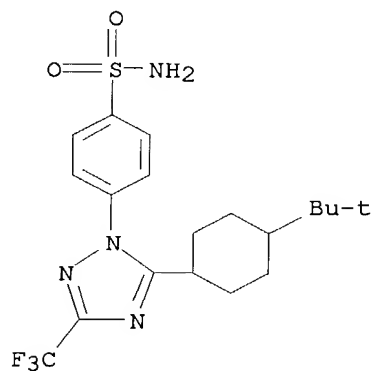


RN 481052-87-3 CAPLUS  
CN Benzenesulfonamide, 4-[5-cyclohexyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



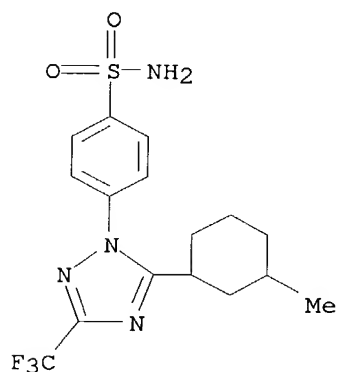
RN 481052-88-4 CAPLUS  
CN Benzenesulfonamide, 4-[5-[4-(1,1-dimethylethyl)cyclohexyl]-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

10/608,709



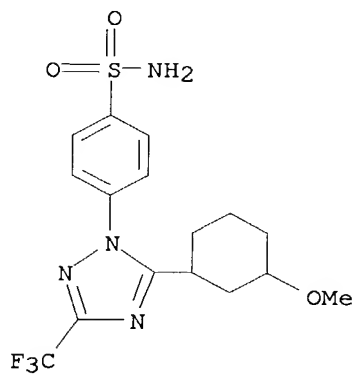
RN 481052-89-5 CAPLUS

CN Benzenesulfonamide, 4-[5-(3-methylcyclohexyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-90-8 CAPLUS

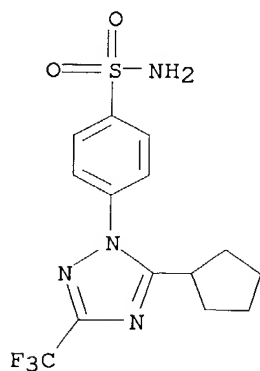
CN Benzenesulfonamide, 4-[5-(3-methoxycyclohexyl)-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)



RN 481052-91-9 CAPLUS

CN Benzenesulfonamide, 4-[5-cyclopentyl-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)

10/608,709



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:356250 CAPLUS  
DOCUMENT NUMBER: 134:353312  
TITLE: Preparation of 5-aryl-1H-1,2,4-triazoles as inhibitors of cyclooxygenase-2  
INVENTOR(S): Pascal, Jean-claude; Carniato, Denis  
PATENT ASSIGNEE(S): Laboratoire Theramex S.A., Monaco  
SOURCE: Eur. Pat. Appl., 22 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1099695	A1	20010516	EP 1999-402784	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001034577	A1	20010517	WO 2000-EP10956	20001106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015440	A	20020702	BR 2000-15440	20001106
EP 1246809	A1	20021009	EP 2000-983110	20001106
EP 1246809	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003513961	T2	20030415	JP 2001-536525	20001106
NZ 518682	A	20030725	NZ 2000-518682	20001106
AT 245148	E	20030815	AT 2000-983110	20001106
PT 1246809	T	20031128	PT 2000-983110	20001106
ZA 2002003165	A	20030422	ZA 2002-3165	20020422
NO 2002002202	A	20020508	NO 2002-2202	20020508

10/608,709

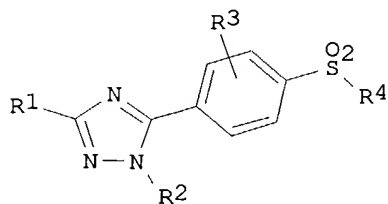
PRIORITY APPLN. INFO.:

EP 1999-402784 A 19991109

WO 2000-EP10956 W 20001106

OTHER SOURCE(S):  
GI

MARPAT 134:353312



I

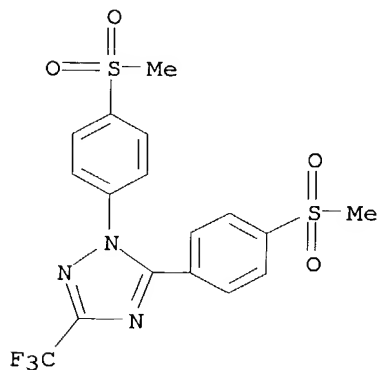
AB The title compds. [I; R<sub>1</sub> = H, alkyl, haloalkyl, etc.; R<sub>2</sub> = alkyl, cycloalkyl, Ph, etc.; R<sub>3</sub> = H, halo, OH, etc.; R<sub>4</sub> = alkyl, NH<sub>2</sub>, (di)alkylamino, etc.], potent and selective COX-2 inhibitors, were prepd. E.g., a 2-step synthesis of I [R<sub>1</sub> = CF<sub>3</sub>; R<sub>2</sub> = 4-BrC<sub>6</sub>H<sub>4</sub>; R<sub>3</sub> = H; R<sub>4</sub> = Me], one of the most potent compd. in the series which appeared to be about 10 times more potent than nimesulide, was given.

IT **339264-29-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 5-aryl-1H-1,2,4-triazoles as inhibitors of cyclooxygenase-2)

RN 339264-29-8 CAPLUS

CN 1H-1,2,4-Triazole, 1,5-bis[4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatall

FILE 'USPATFULL' ENTERED AT 16:10:40 ON 01 JUL 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:10:40 ON 01 JUL 2004

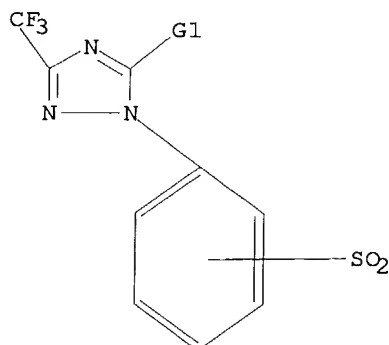
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que



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L1 STR



G1 Cb,Hy

Structure attributes must be viewed using STN Express query preparation.

L3 131 SEA FILE=REGISTRY SSS FUL L1

L5 1 SEA L3

=> d 15 ibib abs hit

L5 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2003:181535 USPATFULL

TITLE: Sulfonyl aryl triazoles as anti-inflammatory/analgesic agents

INVENTOR(S): Sakya, Subas M., East Lyme, CT, UNITED STATES  
Shavnya, Andrei, East Lyme, CT, UNITED STATES  
Rast, Bryson, Mystic, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003125368	A1	20030703
APPLICATION INFO.:	US 2002-188713	A1	20020702 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-303186P	20010705 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	27	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3345	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the formula ##STR1##

wherein m, R.<sup>sup.1</sup>, R.<sup>sup.3</sup>, R.<sup>sup.4</sup>, and R.<sup>sup.5</sup> are defined as in the specification, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the invention are useful in the treatment or alleviation of inflammation and other inflammation associated disorders, such as osteoarthritis, rheumatoid arthritis, colon cancer and Alzheimer's disease, in mammals (preferably humans, dogs, cats and livestock).

10/608,709

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **481052-74-8P**, 4-(5-Phenyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-75-9P**, 4-(5-(Pyridin-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-76-0P**, 4-(5-(Pyridin-3-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-77-1P**, 4-(5-(Furan-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-78-2P**, 4-[5-(Tetrahydrofuran-2-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-79-3P**, 4-[5-(Tetrahydropyran-4-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-80-6P**, 4-[5-(2,2-Dimethyltetrahydropyran-4-yl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-81-7P**, 4-[5-(4-Fluorophenyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-82-8P**, 4-[5-(3-Fluorophenyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-83-9P**, 4-[5-(2,2-Dimethylpropyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-84-0P**, 4-[5-(2-Methylbutyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-85-1P**, 4-[5-(3-Methylbutyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-86-2P**, 4-(5-Cyclobutyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-87-3P**, 4-(5-Cyclohexyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-88-4P**, 4-[5-(4-tert-Butylcyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-89-5P**, 4-[5-(3-Methylcyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-90-8P**, 4-[5-(3-Methoxycyclohexyl)-3-trifluoromethyl-[1,2,4]triazol-1-yl]benzenesulfonamide **481052-91-9P**, 4-(5-Cyclopentyl-3-trifluoromethyl-[1,2,4]triazol-1-yl)benzenesulfonamide **481052-92-0P**, 4-(5-(Isobutyl)-3-(trifluoromethyl)-[1,2,4]triazol-1-yl)benzenesulfonamide  
(prepn. of sulfonyl aryl triazoles as anti-inflammatory/analgesic agents)